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substances identified in English-, French-, German-,
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NEWS 3 NOV 26 MARPAT enhanced with FSORT command
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NEWS 7 DEC 12 GBFULL now offers single source for full-text
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NEWS 8 DEC 17 Fifty-one pharmaceutical ingredients added to PS
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NEWS 10 JAN 07 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
Classification Data
NEWS 11 FEB 02 Simultaneous left and right truncation (SLART) added
for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced
NEWS 15 FEB 11 WTEXTILES reloaded and enhanced
NEWS 16 FEB 19 New patent-examiner citations in 300,000 CA/CAPLUS
patent records provide insights into related prior
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NEWS 18 FEB 23 Several formats for image display and print options
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NEWS 19 FEB 23 MEDLINE now offers more precise author group fields
and 2009 MeSH terms
NEWS 20 FEB 23 TOXCENTER updates mirror those of MEDLINE - more
precise author group fields and 2009 MeSH terms
NEWS 21 FEB 23 Three million new patent records blast AEROSPACE into
STN patent clusters
NEWS 22 FEB 25 USGENE enhanced with patent family and legal status
display data from INPADOCDB
NEWS 23 MAR 06 INPADOCDB and INPAFAMDB enhanced with new display
formats

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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***** STN Columbus *****

FILE 'HOME' ENTERED AT 13:39:20 ON 08 MAR 2009

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 13:39:39 ON 08 MAR 2009
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STRUCTURE FILE UPDATES: 6 MAR 2009 HIGHEST RN 1116745-20-0
DICTIONARY FILE UPDATES: 6 MAR 2009 HIGHEST RN 1116745-20-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

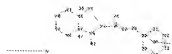
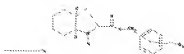
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\STNEXP\Queries\10599918 protection of I R defined.str



```

chain nodes :
10 11 12 13 14 15 25 26 27 34 36 37 41 42 44
ring nodes :
1 2 3 4 5 6 7 8 9 16 17 18 19 20 21 22 23 24 28 29 30 31 32
33
chain bonds :
1-13 2-14 8-10 9-15 10-11 10-12 12-42 16-36 17-37 23-25 24-41 25-26 25-
27
27-34
ring bonds :
1-2 1-6 1-7 2-3 2-9 3-4 4-5 5-6 7-8 8-9 16-17 16-21 16-22 17-18 17-24
18-19 19-20 20-21 22-23 23-24 28-29 28-33 29-30 30-31 31-32 32-33
exact/norm bonds :
2-9 8-9 17-24 23-24 25-26 25-27
exact bonds :
1-2 1-6 1-7 1-13 2-3 2-14 3-4 4-5 5-6 7-8 8-10 9-15 12-42 16-17 16-21
16-22 16-36 17-18 17-37 18-19 19-20 20-21 22-23 23-25 24-41 27-34
normalized bonds :
10-11 10-12 28-29 28-33 29-30 30-31 31-32 32-33
isolated ring systems :
containing 1 : 16 :

```

G1:O,NO2,X

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:CLASS 26:CLASS 27:CLASS 28:Atom 29:Atom 30:Atom
31:Atom
32:Atom 33:Atom 34:CLASS 35:Atom 36:CLASS 37:CLASS 41:CLASS 42:CLASS
44:CLASS 45:Atom
fragments assigned product role:
containing 16
fragments assigned reactant/reagent role:
containing 1

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L1 STRUCTURE UPLOADED

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=> d L1
L1 HAS NO ANSWERS
L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

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Structure attributes must be viewed using STN Express query preparation.

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=> file casreact
COST IN U.S. DOLLARS          SINCE FILE          TOTAL
                                ENTRY          SESSION
FULL ESTIMATED COST          0.48          0.70

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FILE 'CASREACT' ENTERED AT 13:40:07 ON 08 MAR 2009
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FILE CONTENT:1840 - 2 Mar 2009 VOL 150 ISS 10

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```
*****
*
*   CASREACT now has more than 16.5 million reactions
*
*
*****
```

CASREACT contains reactions from CAS and from: ZIC/VINITI database (1974-1999) provided by InfoChem; INPI data prior to 1986; Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich; organic reactions, portions copyright 1996-2006 John Wiley & Sons, Ltd., John Wiley and Sons, Inc., Organic Reactions Inc., and Organic Syntheses Inc. Reproduced under license. All Rights Reserved.

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s L1 SSS full
FULL SEARCH INITIATED 13:40:10 FILE 'CASREACT'
SCREENING COMPLETE -      633 REACTIONS TO VERIFY FROM      82 DOCUMENTS

100.0% DONE      633 VERIFIED      3 HIT RXNS      3 DOCS
SEARCH TIME: 00.00.02
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L2      3 SEA SSS FUL L1 (      3 REACTIONS)
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=> d ibib abs fhit 1-
YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y
```

```
L2  ANSWER 1 OF 3  CASREACT  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:  148:55381  CASREACT  Full-text
TITLE:             Process for the preparation of perindopril and
                   intermediates thereof
INVENTOR(S):       Haider, Akhtar; Megevand, Sophie; Nicollier, Brigitte;
                   Pannatier, Yvan
PATENT ASSIGNEE(S): Sochinaz SA, Switz.
SOURCE:            Eur. Pat. Appl., 19pp.
                   CODEN: EPXXDW
DOCUMENT TYPE:     Patent
LANGUAGE:          English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1864973	A1	20071212	EP 2006-11981	20060609
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,				

BA, HR, MK, YU

PRIORITY APPLN. INFO.:

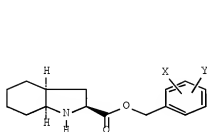
EP 2006-11981

20060609

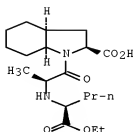
OTHER SOURCE(S):

MARPAT 148:55381

GI



II

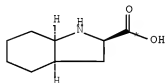


III

AB The invention provides a novel method for the synthesis of (2S,3aS,7aS)-octahydroindole-2-carboxylic acid (I) and its aryl esters II [wherein X, Y = H, halo, alkyl, alkoxy or nitro group], and the conversion of the p-nitrobenzyl ester of the acid into perindopril or its salts. II were obtained via esterification of racemic octahydroindole-2-carboxylic acid hydrochloride with benzyl alcs. in the presence of aryl sulfonic acids such as p-TsOH, followed by resolution with such as dibenzoyl-(L)-tartaric acid. Alternatively, II could be synthesized directly by esterification of chiral I with benzyl alcs. For example, I was reacted with p-nitrobenzyl alc. in the presence of p-TsOH to afford p-tosylate salt of the corresponding ester in 79% yield, which underwent DCC/HOBt-mediated coupling reaction with N-[(S)-1-(ethoxycarbonyl)butyl]-(S)-alanine in dichloromethane (80% yield). Pd/C-catalyzed hydrogenolysis of the resultant p-nitrobenzyl ester led to perindopril.

RX(13) OF 21 COMPOSED OF RX(2), RX(3), RX(4)

RX(13) B + F + P + H ==> Q

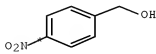


B

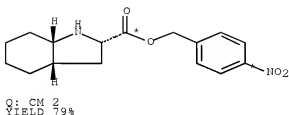
● HCl



F



P



RX(2) RCT B 84324-13-0, F 100-51-6
 RGT H 104-15-4 TsOH
 PRO G 959984-63-5
 SOL 108-88-3 PhMe
 CON SUBSTAGE(2) 25 - 30 deg C

RX(3) RCT G 959984-63-5
 STAGE(1)
 RGT K 1310-73-2 NaOH
 SOL 7732-18-5 Water
 CON room temperature, pH 10.5

STAGE(2)
 RGT L 2743-38-6 Butanedioic acid, 2,3-bis(benzoyloxy)-,
 (2R,3R)-
 CON 1 hour, room temperature

STAGE(3)
 RGT M 7647-01-0 HCl
 SOL 67-56-1 MeOH
 CON SUBSTAGE(2) 1 hour, 0 - 5 deg C

PRO J 86647-57-6
 NTE stereoselective

RX(4) RCT J 86647-57-6, P 619-73-8, H 104-15-4
 PRO Q 959984-64-6
 SOL 108-88-3 PhMe
 CON 3 hours, reflux

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

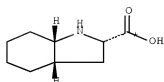
ACCESSION NUMBER: 143:367597 CASREACT Full-text
 TITLE: Process for the preparation of perindopril
 INVENTOR(S): Kankan, Rajendra Narayanrao; Rao, Dharmaraj
 Ramachandra
 PATENT ASSIGNEE(S): Neopharma Limited, UK
 SOURCE: Brit. UK Pat. Appl., 21 pp.
 CODEN: BAXXDU
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2413128	A	20051019	GB 2004-8258	20040413
AU 2005232938	A1	20051027	AU 2005-232938	20050407
CA 2562843	A1	20051027	CA 2005-2562843	20050407
WO 2005100317	A1	20051027	WO 2005-GB1355	20050407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1751107	A1	20070214	EP 2005-732439	20050407
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2007532616	T	20071115	JP 2007-507836	20050407
IN 2006DN06462	A	20070831	IN 2006-DN6462	20061101
KR 2007054142	A	20070528	KR 2006-723684	20061113
US 20070185335	A1	20070809	US 2007-599918	20070409
PRIORITY APPLN. INFO.:			GB 2004-8258	20040413
			WO 2005-GB1355	20050407

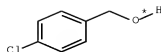
OTHER SOURCE(S): MARPAT 143:367597

AB A process for preparing perindopril or a pharmaceutically-acceptable salt comprises coupling a 4-halo-, 4-alkoxy- or 4-nitrobenzyl ester of (2S,3aS)-2-carboxyoctahydroindole with N-[(S)-1-carbethoxybutyl]-L-alanine (1) in the presence of DCC and HOBt, followed by catalytic hydrolysis. The starting ester was obtained from (S)-indoline-2-carboxylic acid by hydrogenation-esterification and 1 was obtained from norvaline Et ester and pyruvic acid under catalytic hydrogenation conditions. The method was applied to the synthesis perindopril erbumine (20.5 g obtained from 24 g 4-chlorobenzyl ester and 21.26 g 1).

RX(3) OF 10 ...I + M ==> N...

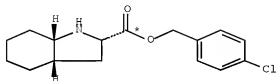


I



M

(3) →



11

RX(3) RCT I 80875-98-5, M 873-76-7
RGT O 104-15-4 TsOH
PRO N 793716-54-8
SOL 108-88-3 PhMe
CON reflux

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 3 OF 3 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:411226 CASREACT [Full-text](#)
TITLE: Process for preparation of perindopril and its salts
INVENTOR(S): Kankan, Rajendra Narayanrao; Rao, Dharmaraj Ramachandra
PATENT ASSIGNEE(S): Cipla Limited, India; Wain, Christopher Paul
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099138	A2	20041118	WO 2004-GB2029	20040512
WO 2004099138	A3	20041223		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

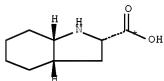
IN 2003MU00468 A 20050211 IN 2003-MU468 20030512

PRIORITY APPLN. INFO.: IN 2003-MU468 20030512

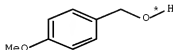
OTHER SOURCE(S): MARPAT 141:411226

AB A process for preparing perindopril or a pharmaceutically-acceptable salt comprises esterifying (2S,3aS,7aS)-octahydro-1H-indole-2-carboxylic acid (I) with benzyl alc. (or the 4-chloro or 4-alkoxy derivative) in the presence of benzenesulfonic acid as catalyst, treating the intermediate ester benzenesulfonate with N-[(S)-1-carbethoxybutyl]-L-alanine (II), and ester cleavage. Thus, I benzyl ester benzenesulfonate (40 g) was prepared, its suspension in CH₂Cl₂ made alkaline with aqueous ammonia, and the organic layer separated. Treatment with II at 10-15 °C in the presence of hydroxybenzotriazole and N,N'-dicyclohexylcarbodiimide and workup afforded 43 g perindopril benzyl ester.

RX(6) OF 10 A + U + C ==> V



A



U

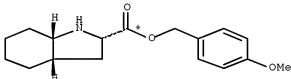


C

(6) →



V: CM 1



V: CM 2

RX(6) RCT A 80875-98-5, U 105-13-5, C 98-11-3

PRO V 793716-59-3

SOL 108-88-3 PhMe

CON 10 hours, reflux

REFERENCE COUNT: 4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log off

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

STN INTERNATIONAL LOGOFF AT 13:41:06 ON 08 MAR 2009